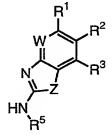
We claim:

1. A method of decreasing bacterial quantity in a biological sample comprising the step of contacting said biological sample with a compound of formula I:



I

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

Z is O or N-R^4;

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

R^1 is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R^9; wherein an R^9 substituent in the ortho-position of R^1 taken together with R^2 may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2

ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7, Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R, or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$,

10015332-121201

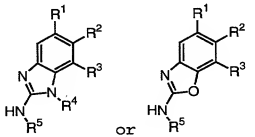
$N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

2. The method according to claim 1, wherein said compound has the formula **Ia** or **Ib**:



Ia or **Ib**

or a pharmaceutically acceptable derivative or prodrug thereof.

3. The method according to claim 2, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(c) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(d) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

4. The method according to claim 3, wherein:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(c) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(d) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

5. The method according to claim 3, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$;

(e) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(f) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

6. The method according to claim 5, wherein:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-

T02121-235101

yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

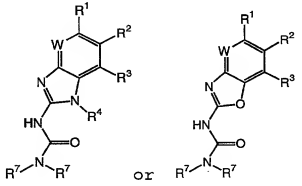
(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$;

(e) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(f) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

7. The method according to claim 1, wherein said compound has the formula **IIa** or **IIb**:



IIa or **IIb**

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

R^1 is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R^9; wherein an R^9 substituent in the ortho-position of R^1 taken together with R^2 may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring

10015325.121201

having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^5)_2$, $CON(R^5)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$; and

each m and n are independently selected from zero or one.

8. The method according to claim 7, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

9. The method according to claim 8, wherein:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

10015232.121201

10. The method according to claim 8, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

11. The method according to claim 10, wherein:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

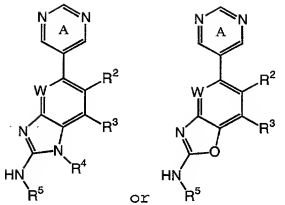
(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

12. The method according to claim 1, wherein said compound has the formula **IIIa** or **IIIb**:



IIIa or IIIb

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

Ring A is optionally substituted with up to three R^9; wherein when an R^9 substituent is in the ortho-position of Ring A, said R^9 substituent may be taken together with R^2 to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7, Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R, or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$;

10121.2650T01

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

13. The method according to claim 12, wherein said compound has one or more features selected from the group consisting of:

(a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(b) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^5)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^5)_2$.

14. The method according to claim 13, wherein:

(a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(b) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^5)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^5)_2$.

15. The method according to claim 13, wherein said compound has one or more features selected from the group consisting of:

(a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(c) R^4 is hydrogen or $(CH_2)_yR^2$;

(d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

1021134.25510T

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

16. The method according to claim 15, wherein:

(a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(c) R^4 is hydrogen or $(CH_2)_yR^2$;

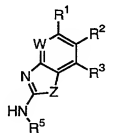
(d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

17. The method according to claim 1, wherein said compound is selected from those listed in either of Tables 1 or 2.

18. The method according to claim 1 further comprising the step of contacting said biological sample with an agent which increases the susceptibility of bacterial organisms to antibiotics.

19. A method of treating a bacterial infection in a mammal in need thereof, comprising the step of administering to said mammal a therapeutically effective amount of a compound of formula I:



I

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

Z is O or $N-R^4$;

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

R^1 is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R^9; wherein an R^9 substituent in the ortho-position of R^1 taken together with R^2 may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7, Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R, or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

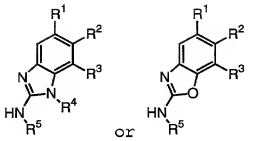
each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

20. The method according to claim 19, wherein said compound has the formula **Ia** or **Ib**:



Ia or Ib

or a pharmaceutically acceptable derivative or prodrug thereof.

21. The method according to claim 20, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(c) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(d) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

22. The method according to claim 21, wherein:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(c) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(d) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$,

10155322.121201

$N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

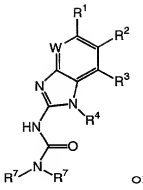
23. The method according to claim 21, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
(d) R^4 is hydrogen or $(CH_2)_yR^2$;
(e) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
(f) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

24. The method according to claim 23, wherein:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;
(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;
(d) R^4 is hydrogen or $(CH_2)_yR^2$;
(e) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and
(f) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

25. The method according to claim 19, wherein said compound has the formula **IIa** or **IIb**:



IIa or IIb

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

R^1 is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R^9; wherein an R^9 substituent in the ortho-position of R^1 taken together with R^2 may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

10015932.121201

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^5)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$; and

each m and n are independently selected from zero or one.

26. The method according to claim 25, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

27. The method according to claim 26, wherein:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

28. The method according to claim 26, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

10015332 - 121201

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

29. The method according to claim 28, wherein:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

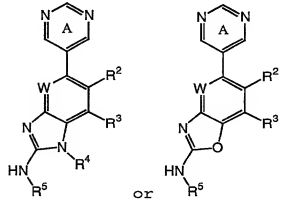
(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

30. The method according to claim 19, wherein said compound has the formula **IIIa** or **IIIb**:



IIIa **IIIb**

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

Ring A is optionally substituted with up to three R^9; wherein when an R^9 substituent is in the ortho-position of Ring A, said R^9 substituent may be taken together with R^2 to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7, Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R, or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

10217.2EC5700T

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and R^{10} is selected from R^7 or Ar.

31. The method according to claim 30, wherein said compound has one or more features selected from the group consisting of:

(a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(b) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$,

$N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

32. The method according to claim 31, wherein:

(a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(b) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

33. The method according to claim 31, wherein said compound has one or more features selected from the group consisting of:

(a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(c) R^4 is hydrogen or $(CH_2)_yR^2$;

(d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

34. The method according to claim 33, wherein:

(a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(c) R^4 is hydrogen or $(CH_2)_yR^2$;

(d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

FOR USE BY APPLICANT

35. The method according to claim 19, wherein said compound is selected from those listed in either of Tables 1 and 2.

36. The method according to claim 19, wherein the disease in mammals is alleviated by administration of an inhibitor of gyrase.

37. The method according to claim 19, wherein the bacterial infection to be treated is characterized by the presence of one or more of the following: *Streptococcus pneumoniae*, *Streptococcus pyrogenes*, *Enterococcus fecalis*, *Enterococcus faecium*, *Klebsiella pneumoniae*, *Enterobacter sps. Proteus sps. Pseudomonas aeruginosa*, *E. coli*, *Serratia marcesens*, *S. aureus*, or *Coag. Neg. Staph.*

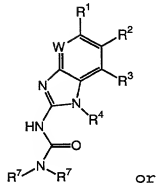
38. The method according to claim 19, wherein the bacterial infection to be treated is selected from one or more of the following: urinary tract infections, pneumonia, prostatitis, skin and soft tissue infections, intra-abdominal infections, or infections of febrile neutropenic patients.

39. The method according to claim 19 further comprising the step of administering to said patient an additional therapeutic agent either as part of a multiple dosage form together with said compound or as a separate dosage form.

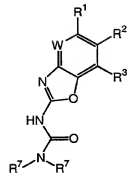
40. The method according to claim 19 further comprising the step of administering to said patient an agent that increases the susceptibility of bacterial organisms to antibiotics.

1001532.12101

41. A compound of formula **IIa** or **IIb**:



or



IIa **IIb**

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

R^1 is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R^9; wherein an R^9 substituent in the ortho-position of R^1 taken together with R^2 may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$; and

each m and n are independently selected from zero or one.

42. The compound according to claim 41, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

43. The compound according to claim 42, wherein:

(a) R^1 is an optionally substituted aryl or heteroaryl ring;

(b) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

44. The compound according to claim 42, wherein said compound has one or more features selected from the group consisting of:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$; and

10217.2ECS100

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

45. The compound according to claim 44, wherein:

(a) R^1 is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

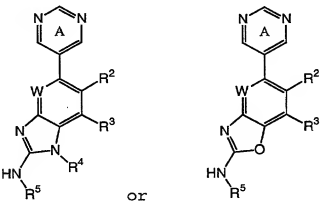
(b) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(c) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(d) R^4 is hydrogen or $(CH_2)_yR^2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

46. A compound of formula **IIIa** or **IIIb**:



IIIa **IIIb**

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR^a;

R^a is selected from hydrogen, halogen, $-CF_3$, R^7, $-OR^7$, or $-N(R^7)_2$;

Ring A is optionally substituted with up to three R^9; wherein when an R^9 substituent is in the ortho-position of Ring A, said R^9 substituent may be taken together with R^2 to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^2 and R^3 are each independently selected from R^6, halogen, CN, SR^6, OR^6, $N(R^6)_2$, $NRCO_2R^6$, $NRCON(R^6)_2$, $CON(R^6)_2$, $NRCOR^6$, $NRN(R^6)_2$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $NRSO_2R^6$; or R^2 and R^3 are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R^4 is selected from R^6, $CON(R^6)$, COR^6, CO_2R^6, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, or $(CH_2)_yR^2$;

y is 1-6;

R^5 is selected from R^7, Ar, COAr, $CON(R^7)Ar$, $(CH_2)_yCO_2R$, $(CH_2)_yN(R^7)_2$, $C(=NR^{10})-N(R^7)_2$, $C(=NR^{10})-NRCOR$, $C(=S)-N(R^7)_2$, $CON(R^7)_2$, COR, SO_2R, or $SO_2N(R^7)_2$;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO_2, R^8, OR^8, NHR^8, $NHCOR^8$, $NHCONHR^8$, COR^8, $CONHR^8$, SO_2R^8, $NHSO_2NHR^8$ or SO_2NHR^8;

each R^6 is independently selected from R^7 or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R^7 is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R^7 on the same nitrogen taken

together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R^8 is a C_1-C_4 aliphatic group, wherein two R^8 on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R^9 is independently selected from oxo, halogen, CN, NO_2, T_n(haloalkyl), R^6, SR^6, OR^6, OR^8, $N(R^6)_2$, $CON(R^6)_2$, $CON(R)COR^6$, COR^6, CO_2R^6, $CO_2N(R^6)_2$, $COCOR^6$, SO_2R^6, $SO_2N(R^6)_2$, $N(R)T_nCO_2R^6$, $N(R)T_nCON(R^6)_2$, $N(R)T_nN(R^6)_2$, $N(R)T_nNRCO_2R^6$, $N(R)T_nNRCON(R^6)_2$, $N(R)T_nCOR^6$, $N(R)T_nNRCOR^6$, $N(R)T_nSO_2N(R^6)_2$, $N(R)T_nSO_2R^6$, $T_nPO(OR^7)_2$, $T_nOPO(OR^7)_2$, $T_nSP(OR^7)_2$, $T_nPO(OR^7)_2$, or $T_nNPO(OR^7)_2$;

each Q is an independently selected C_1-C_3 branched or straight alkyl;

T is selected from -Q- or $-Q_m-CH(Q_m-R^2)-$;

each m and n are independently selected from zero or one; and

R^{10} is selected from R^7 or Ar.

47. The compound according to claim 46, wherein said compound has one or more features selected from the group consisting of:

(a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(b) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

48. The compound according to claim 47, wherein:

(a) R^2 and R^3 are each independently selected from halogen, CN, CO_2R^6, OR^6, or R^6;

(b) R^5 is CO_2R, COAr, COR, $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(c) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, $N(R)T_nCO_2R^6$, $N(R)T_nNRCO_2R^6$, $N(R)T_nN(R^6)_2$, NO_2, T_n(haloalkyl), $CO_2N(R^6)_2$, COR^6, SO_2R^6, or $SO_2N(R^6)_2$.

49. The compound according to claim 47, wherein said compound has one or more features selected from the group consisting of:

(a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(c) R^4 is hydrogen or $(CH_2)_yR^2$;

(d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

50. The compound according to claim 49, wherein:

(a) R^2 is hydrogen, alkoxy, aminoalkyl, or halogen;

(b) R^3 is hydrogen, alkoxy, aralkoxy, or halogen;

(c) R^4 is hydrogen or $(CH_2)_yR^2$;

(d) R^5 is $CON(R^7)_2$, Ar, $(CH_2)_yCO_2R$, or $(CH_2)_yN(R^7)_2$; and

(e) R^9 is halogen, CN, oxo, R^6, SR^6, OR^6, $N(R^6)_2$, $CON(R^6)_2$, CO_2R^6, $CON(R)COR^6$, or $N(R)T_nCO_2R^6$.

51. A composition comprising a compound according to any one of claims 41 to 50; and a pharmaceutically acceptable carrier.

1001523.1221201

52. The composition according to claim 51, wherein said compound is formulated in a pharmaceutically acceptable manner for administration to a patient.

53. The composition according to claim 51 further comprising an additional therapeutic agent.

54. The composition according to claim 52 further comprising an additional therapeutic agent.

55. The composition according to claim 51 further comprising an agent that increases the susceptibility of bacterial organisms to antibiotics.

56. The composition according to claim 53 further comprising an agent that increases the susceptibility of bacterial organisms to antibiotics.

10015322.121201